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* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3 JAN 16 CA/Caplus Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/Caplus updated with revised CAS roles
NEWS 7 JAN 22 CA/Caplus enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in
multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000
to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 MAR 30 INPADOCDB will replace INPADOC on STN
NEWS 24 APR 02 JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 09:45:06 ON 21 APR 2007

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:45:15 ON 21 APR 2007

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 APR 2007 HIGHEST RN 931582-00-2

DICTIONARY FILE UPDATES: 20 APR 2007 HIGHEST RN 931582-00-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

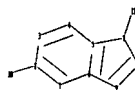
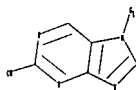
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10518815.str



chain nodes :

10 11

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

2-10 7-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

5-7 6-9 7-8 7-11 8-9

exact bonds :

2-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:C,H,Cb,Cy,Hy,Ak

Match level :

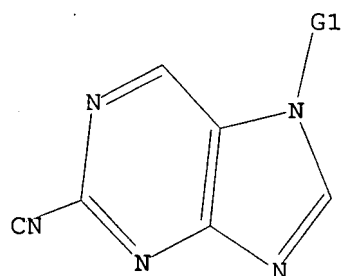
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,H,Cb,Cy,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam

SAMPLE SEARCH INITIATED 09:45:32 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 33 TO ITERATE

100.0% PROCESSED 33 ITERATIONS

SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

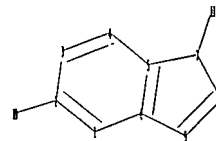
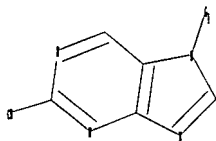
PROJECTED ITERATIONS: 316 TO 1004

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>

Uploading C:\Program Files\Stnexp\Queries\10518815a.str



```

chain nodes :
10 11
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-10 7-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 7-11 8-9
exact bonds :
2-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

```

G1:C,H,Cb,Cy,Hy,Ak

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS

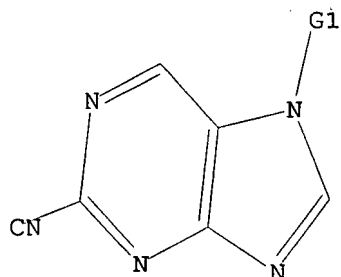
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L3 STRUCTURE UPLOADED

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=> d l3
L3 HAS NO ANSWERS
L3 STR

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G1 C, H, Cb, Cy, Hy, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sam

SAMPLE SEARCH INITIATED 09:46:34 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 33 TO ITERATE

100.0% PROCESSED 33 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 316 TO 1004

PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> s l3 full

FULL SEARCH INITIATED 09:46:42 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 700 TO ITERATE

100.0% PROCESSED 700 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.01

L5 8 SEA SSS FUL L3

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

173.00

173.21

FILE 'CAPLUS' ENTERED AT 09:46:47 ON 21 APR 2007

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FILE COVERS 1907 - 21 Apr 2007 VOL 146 ISS 18

FILE LAST UPDATED: 20 Apr 2007 (20070420/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 15

L6 11 L5

=> d 16 ibib hitstr abs 1-11

L6 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1004719 CAPLUS

DOCUMENT NUMBER: 143:286448

TITLE: Preparation of fused bicyclic pyrimidine compounds as cathepsin K inhibitors

INVENTOR(S): Ohmoto, Kazuyuki; Hisaichi, Katsuya; Okuma, Motohiro; Tanaka, Makoto; Kawada, Naoki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085210	A1	20050915	WO 2005-JP4580	20050309
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1724264	A1	20061122	EP 2005-720835	20050309
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			JP 2004-68212	A 20040310
			WO 2005-JP4580	W 20050309

OTHER SOURCE(S): MARPAT 143:286448

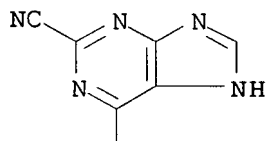
IT 864438-87-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused bicyclic pyrimidine compds. as cathepsin K inhibitors for treatment of osteoporosis, arthritis, etc.)

RN 864438-87-9 CAPLUS

CN 1H-Purine-2-carbonitrile, 6-[(2,2-dimethylpropyl)amino]- (9CI) (CA INDEX NAME)



Me₃C-CH₂-NH

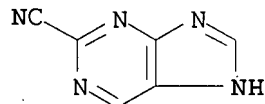
IT 95121-05-4, 1H-Purine-2-carbonitrile

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(preparation of fused bicyclic pyrimidine compds. as cathepsin K inhibitors
for treatment of osteoporosis, arthritis, etc.)

RN 95121-05-4 CAPLUS

CN 1H-Purine-2-carbonitrile (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [ring A = carbocycle, heterocycle; ring B = heterocycle having at least one nitrogen; dotted line indicates single or double bond.; Y, Z = C, N; n = 0-10; R = H, substituent; further details on R are given.] were prepared For example, reaction of 5-(aminomethyl)-4-[(2,2-dimethylpropyl)amino]-2-pyrimidinecarbonitrile, e.g., prepared from 2,4-dichloro-5-(chloromethyl)pyrimidine in 4 steps, with N,N'-carbonyldiimidazole afforded compound II. In cathepsin K inhibition assays, the IC50 value of compound III was 2.9 nM. Compds. I are claimed useful for the treatment of osteoporosis, arthritis, etc. Formulations are given.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:991509 CAPLUS

DOCUMENT NUMBER: 140:42192

TITLE: Preparation of purinone derivatives as dipeptidylpeptidase IV (DPP-IV) inhibitors

INVENTOR(S): Yoshikawa, Seiji; Emori, Eita; Matsuura, Fumiyoshi; Richard, Clark; Ikuta, Hironori; Kira, Kazunobu; Yasuda, Nobuyuki; Nagakura, Tadashi; Yamazaki, Kazuto

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104229	A1	20031218	WO 2003-JP7010	20030603
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2485641	A1	20031218	CA 2003-2485641	20030603
AU 2003241960	A1	20031222	AU 2003-241960	20030603

EP 1514552	A1	20050316	EP 2003-733276	20030603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011697	A	20050322	BR 2003-11697	20030603
JP 3675813	B2	20050727	JP 2004-511299	20030603
CN 1675208	A	20050928	CN 2003-818968	20030603
CN 1931859	A	20070321	CN 2006-10151528	20030603
RU 2297418	C2	20070420	RU 2004-139111	20030603
US 2004116328	A1	20040617	US 2003-457002	20030606
JP 2005145951	A	20050609	JP 2004-249414	20040830
IN 2004CN02990	A	20060217	IN 2004-CN2990	20041231
NO 2005000054	A	20050210	NO 2005-54	20050105
US 2006100199	A1	20060511	US 2005-516971	20050816
US 2006063787	A1	20060323	US 2005-212407	20050826
PRIORITY APPLN. INFO.:			JP 2002-166069	A 20020606
			JP 2002-209373	A 20020718
			JP 2002-307750	A 20021023
			CN 2003-818968	A3 20030603
			JP 2004-511299	A3 20030603
			WO 2003-JP7010	W 20030603
			US 2003-457002	B1 20030606

OTHER SOURCE(S): MARPAT 140:42192

IT 635719-97-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of purinone derivs. as dipeptidylpeptidase IV inhibitors)

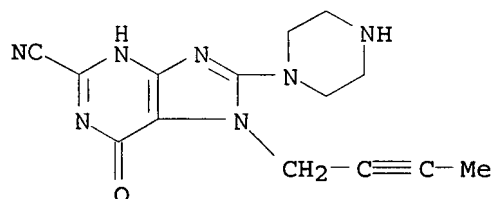
RN 635719-97-0 CAPLUS

CN 1H-Purine-2-carbonitrile, 7-(2-butynyl)-6,7-dihydro-6-oxo-8-(1-piperazinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 635719-96-9

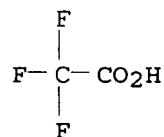
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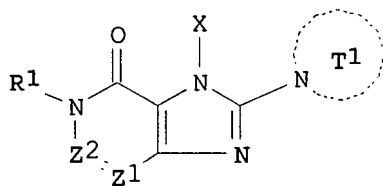
CM 2

CRN 76-05-1

CMF C2 H F3 O2



GI



I

AB The title compds. I [wherein T1 is an optionally substituted, monocyclic or bicyclic, 4- to 12-membered, heterocyclic group containing one or two nitrogen atoms in the ring; X is optionally substituted C1-6 alkyl, etc.; Z1 and Z2 each independently is nitrogen, CR2; and R1 and R2 each independently is hydrogen, optionally substituted C1-6 alkyl, optionally substituted C1-6 alkoxy, etc.] are prepared Compds. of this invention in vitro showed IC50 values of 0.001 μ M to 1.48 μ M against dipeptidylpeptidase IV.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:220605 CAPLUS

DOCUMENT NUMBER: 136:263385

TITLE: Preparation of purine derivs. as adenosine A2a receptor agonists for pharmaceutical use as anti-inflammatory agents

INVENTOR(S): Mantell, Simon John; Stephenson, Peter Thomas

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022630	A1	20020321	WO 2001-IB1612	20010903
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US 2002072597	A1	20020613	US 2001-933421	20010820
US 6624158	B2	20030923		
CA 2422374	A1	20020321	CA 2001-2422374	20010903
CA 2422374	C	20070220		
AU 200184333	A	20020326	AU 2001-84333	20010903
EP 1317465	A1	20030611	EP 2001-963310	20010903
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BR 2001014089	A	20030701	BR 2001-14089	20010903
JP 2004509130	T	20040325	JP 2002-526881	20010903
PRIORITY APPLN. INFO.:				
			GB 2000-22695	A 20000915
			US 2000-239644P	P 20001012
			WO 2001-IB1612	W 20010903

OTHER SOURCE(S): MARPAT 136:263385

IT 264608-18-6P

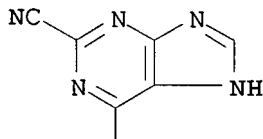
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of purine derivs. as adenosine A2a receptor agonists for pharmaceutical use as antiinflammatory agents)

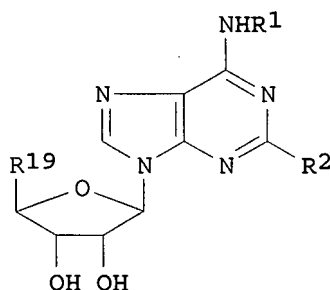
RN 264608-18-6 CAPLUS

CN 1H-Purine-2-carbonitrile, 6-[(2,2-diphenylethyl)amino]- (9CI) (CA INDEX NAME)

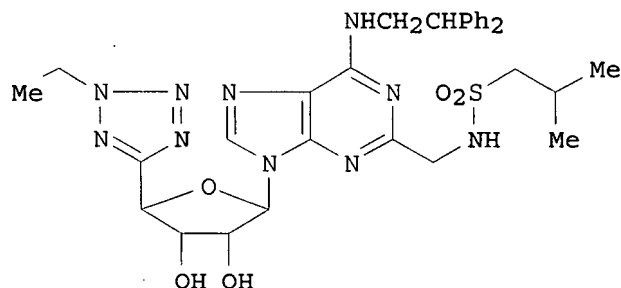


Ph₂CH-CH₂-NH

GI



I



II

AB Purine derivs., such as I [R¹ = H, alkyl, arylalkyl, etc.; R² = alkylenylsulfonylaminomethyl; R¹⁹ = C-linked heteroaryl], were prepared for therapeutic use as anti-inflammatory agents which are adenosine A2a receptor agonists for treatment of conditions, such as bronchitis, inflammatory bowel disease and peripheral vascular disease. Thus, purine II was prepared via a multistep synthetic sequence starting from (3R,4R,5R)-5-(2-ethyl-2H-tetrazol-5-yl)tetrahydro-2,3,4-furantriole triacetate (ester), 2-methyl-1-propanesulfonyl chloride, 2,6-dichloropurine, and 2,2-diphenylethylamine. The prepared purine derivs. were tested for anti-inflammatory activity by their ability to inhibit neutrophil function which is indicative of A2a receptor agonist activity.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:10495 CAPLUS

DOCUMENT NUMBER: 136:70047

TITLE: Preparation of purine nucleosides as anti-inflammatory adenosine A2a receptor agonists

INVENTOR(S): Mantell, Simon John; Monaghan, Sandra Marina;
 Stephenson, Peter Thomas
 PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
 SOURCE: PCT Int. Appl., 176 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000676	A1	20020103	WO 2001-IB1064	20010614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412564	A1	20020103	CA 2001-2412564	20010614
EP 1296996	A1	20030402	EP 2001-938490	20010614
EP 1296996	B1	20040526		
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BR 2001011912	A	20030513	BR 2001-11912	20010614
HU 200301389	A2	20030828	HU 2003-1389	20010614
JP 2004501929	T	20040122	JP 2002-505798	20010614
NZ 521867	A	20040430	NZ 2001-521867	20010614
AT 267836	T	20040615	AT 2001-938490	20010614
EE 200200712	A	20040615	EE 2002-712	20010614
PT 1296996	T	20040831	PT 2001-938490	20010614
ES 2220775	T3	20041216	ES 2001-1938490	20010614
US 2002032168	A1	20020314	US 2001-884244	20010619
US 6921753	B2	20050726		
BG 107171	A	20030731	BG 2002-107171	20021007
IN 2002MN01404	A	20040904	IN 2002-MN1404	20021010
ZA 2002009557	A	20031202	ZA 2002-9557	20021125
NO 2002005975	A	20021212	NO 2002-5975	20021212
US 2004229838	A1	20041118	US 2004-869380	20040615
PRIORITY APPLN. INFO.:				
			GB 2000-15727	A 20000627
			US 2000-218466P	P 20000714
			WO 2001-IB1064	W 20010614
			US 2001-884244	A3 20010619

OTHER SOURCE(S): MARPAT 136:70047

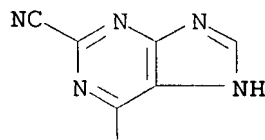
IT 264608-18-6P 383888-23-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of purine nucleosides as antiinflammatory adenosine aa receptor agonists)

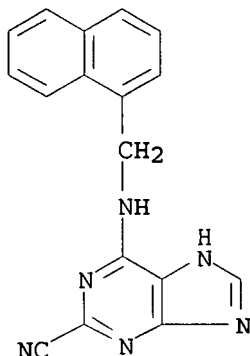
RN 264608-18-6 CAPLUS

CN 1H-Purine-2-carbonitrile, 6-[(2,2-diphenylethyl)amino]- (9CI) (CA INDEX NAME)

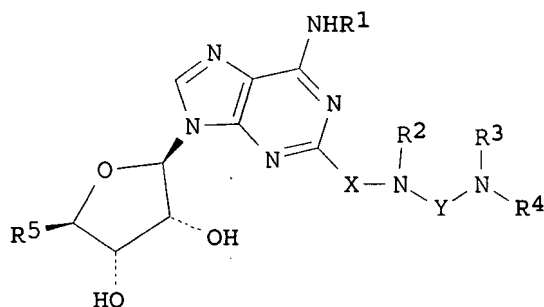


Ph₂CH-CH₂-NH

RN 383888-23-1 CAPLUS
 CN 1H-Purine-2-carbonitrile, 6-[(1-naphthalenylmethyl)amino]- (9CI) (CA
 INDEX NAME)



GI



I

AB The present invention relates to purine nucleosides I wherein R1, R2 are independently H, substituted alkyl; R3 is H, alkyl, cycloalkyl, benzyl, R4 is alkyl, cycloalkyl; R3R4 together with nitrogen represent azetidiny, pyrrolidinyl, piperidinyl, piperazinyl, homopiperidinyl or homopiperazinyl, each being optionally substituted on a ring nitrogen or carbon atom by alkyl or cycloalkyl; R5 is CH2OH, substituted amide; X is CH2, CH2CH2; Y is CO, CS, SO2, C:N(CN), and pharmaceutically acceptable salts and solvates thereof, to processes for the preparation of, intermediates used in the preparation of, and compns. containing such compds. and the uses of such compds. as adenosine A2a receptor agonists. Thus, N-({9-[(2R,3R,4S,5R)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9H-purin-2-yl)methyl)-N'-[2-(diisopropylamino)ethyl]urea was prepared as adenosine A2a receptor agonist. Title compds. were tested for anti-inflammatory activity by their ability to inhibit neutrophil function (which indicates A2a receptor agonist activity) and all had an IC50 < 1 µM.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:904207 CAPLUS

DOCUMENT NUMBER: 136:37902

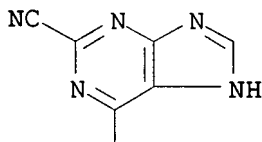
TITLE: Preparation of 2-aminocarbonyl-9H-purine nucleosides and their uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents

INVENTOR(S): Mantell, Simon John; Stephenson, Peter Thomas

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
 SOURCE: PCT Int. Appl., 198 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094368	A1	20011213	WO 2001-IB973	20010605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 227240	B	20050201	TW 2001-90113146	20010531
CA 2414018	A1	20011213	CA 2001-2414018	20010605
US 2002058641	A1	20020516	US 2001-874007	20010605
US 6753322	B2	20040622		
EP 1292604	A1	20030319	EP 2001-934242	20010605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011263	A	20030617	BR 2001-11263	20010605
CN 1434830	A	20030806	CN 2001-810802	20010605
HU 200301330	A2	20030828	HU 2003-1330	20010605
JP 2003535871	T	20031202	JP 2002-501916	20010605
NZ 522184	A	20040528	NZ 2001-522184	20010605
EE 200200678	A	20040615	EE 2002-678	20010605
CN 1810822	A	20060802	CN 2006-10004588	20010605
BG 107216	A	20030530	BG 2002-107216	20021023
IN 2002MN01540	A	20050304	IN 2002-MN1540	20021031
NO 2002005821	A	20030204	NO 2002-5821	20021204
ZA 2002009875	A	20031205	ZA 2002-9875	20021205
HK 1054042	A1	20060901	HK 2003-106312	20030905
US 2004077584	A1	20040422	US 2003-676782	20031001
US 7094769	B2	20060822		
US 2006122145	A1	20060608	US 2006-334144	20060117
IN 2006MN00139	A	20061006	IN 2006-MN139	20060206
PRIORITY APPLN. INFO.:				
			GB 2000-14048	A 20000606
			GB 2000-18246	A 20000725
			GB 2000-24920	A 20001011
			US 2000-214307P	P 20000627
			US 2000-225236P	P 20000815
			US 2000-245243P	P 20001102
			CN 2001-810802	A3 20010605
			US 2001-874007	A3 20010605
			WO 2001-IB973	W 20010605
			IN 2002-MN1540	A3 20021031
			US 2003-676782	A3 20031001

OTHER SOURCE(S): MARPAT 136:37902
 IT 264608-18-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)
 RN 264608-18-6 CAPLUS
 CN 1H-Purine-2-carbonitrile, 6-[(2,2-diphenylethyl)amino]- (9CI) (CA INDEX NAME)



Ph₂CH-CH₂-NH

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 2-Aminocarbonyl-9H-purine nucleosides I wherein R, R₂ are independently H, alkyl; R₁ is H, substituted alkyl, fluorenyl; R₃ is H, alkyl, cycloalkyl, benzyl; R₄ is substituted azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl; R₃R₄ taken together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidinyl, piperidinyl, piperazinyl, homopiperidinyl or homopiperazinyl, each being optionally substituted on a ring nitrogen or carbon atom by alkyl or cycloalkyl; R₅ is CH₂OH, amide; X is substituted alkylene; RX or R₂X with the nitrogen atom to which they are attached, represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl; Y is CO, CS, SO₂, C=N(CN); were prepared as A_{2a} receptor agonists and anti-inflammatory agents. Thus, nucleoside II was prepared and tested as A_{2a} receptor agonist and anti-inflammatory agent. Title compds. were tested for biol. activity as A_{2a} receptor agonists and anti-inflammatory agents and all were found to have an IC₅₀ of less than 100 nM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:618013 CAPLUS

DOCUMENT NUMBER: 135:180928

TITLE: Preparation of adenosine derivatives for pharmaceutical use as adenosine A_{2a} receptor agonists

INVENTOR(S): Mantell, Simon John; Monaghan, Sandra Marina; Stephenson, Peter Thomas

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 121 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060835	A1	20010823	WO 2001-IB167	20010209
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2400619	A1	20010823	CA 2001-2400619	20010209
AU 200130440	A	20010827	AU 2001-30440	20010209
EP 1255764	A1	20021113	EP 2001-902583	20010209

EP 1255764 B1 20060510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2001008408	A	20021126	BR 2001-8408	20010209
HU 200301055	A2	20030828	HU 2003-1055	20010209
EE 200200452	A	20031215	EE 2002-452	20010209
JP 2004508284	T	20040318	JP 2001-560219	20010209
NZ 519971	A	20040430	NZ 2001-519971	20010209
AT 325807	T	20060615	AT 2001-902583	20010209
ES 2260199	T3	20061101	ES 2001-1902583	20010209
US 2001020089	A1	20010906	US 2001-789236	20010220
US 6525032	B2	20030225		
BG 106906	A	20030430	BG 2002-106906	20020705
ZA 2002006526	A	20031016	ZA 2002-6526	20020815
NO 2002003894	A	20021001	NO 2002-3894	20020816
PRIORITY APPLN. INFO.:			GB 2000-3960	A 20000218
			US 2000-188648P	P 20000310
			WO 2001-IB167	W 20010209

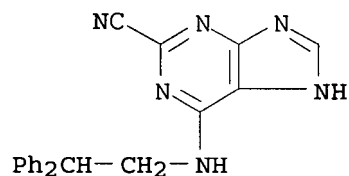
OTHER SOURCE(S): MARPAT 135:180928

IT 264608-18-6P

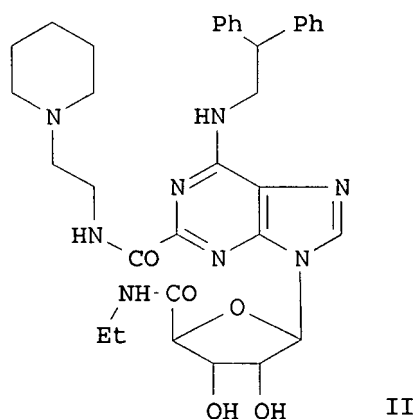
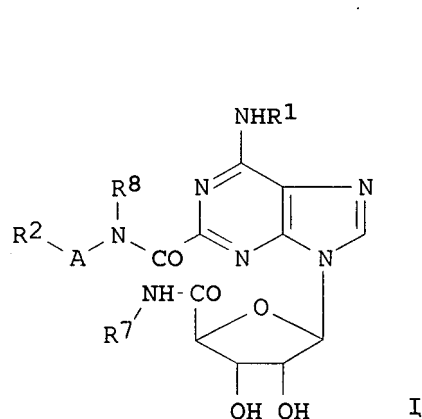
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of adenosine derivs. for pharmaceutical use as adenosine A2a receptor agonists)

RN 264608-18-6 CAPLUS

CN 1H-Purine-2-carbonitrile, 6-[(2,2-diphenylethyl)amino]- (9CI) (CA INDEX NAME)



GI



AB Adenosines, such as I [A = bond, alkylene connecting group; R1 = H, alkyl, cycloalkyl, arylalkyl, etc.; R2 = H, Ph, naphthyl, alkyl, cycloalkyl, amino, alkyloxy, carboxy, acyloxy, sulfonyl, aminosulfonyl, acylamino, etc.; R7 = H, Ph, naphthyl, heterocyclyl, alkyl, cycloalkyl, etc.; R8 = H, alkyl], were prepared for therapeutic use as adenosine A2a receptor agonists for the treatment of a variety of conditions, such as respiratory disease, inflammation, vascular disease, and psychotic disorders. Thus, adenosine

derivative II was prepared via a multistep synthetic sequence starting from 2,6-dichloropurine, 1-piperidineethanamine, 2,2-diphenylethanamine and Me 2,3-O-(1-methylethylidene)- β -D-ribofuranosiduronic acid. Formulation for delivery of the prepared adenosine derivs. were discussed, but no adenosine A2a receptor activity data was presented.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:283973 CAPLUS

DOCUMENT NUMBER: 134:296047

TITLE: Preparation of purine nucleosides as adenosine A2a receptor agonists

INVENTOR(S): Monaghan, Sandra Marina

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027131	A1	20010419	WO 2000-IB1446	20001006
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2387533	A1	20010419	CA 2000-2387533	20001006
CA 2387533	C	20070313		
BR 2000014760	A	20020702	BR 2000-14760	20001006
EP 1220862	A1	20020710	EP 2000-962773	20001006
EP 1220862	B1	20060322		
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200201001	T2	20020923	TR 2002-1001	20001006
JP 2003511460	T	20030325	JP 2001-530349	20001006
HU 200203485	A2	20030328	HU 2002-3485	20001006
EE 200200194	A	20030616	EE 2002-194	20001006
AU 771531	B2	20040325	AU 2000-74412	20001006
NZ 517294	A	20040326	NZ 2000-517294	20001006
AT 321065	T	20060415	AT 2000-962773	20001006
ES 2257317	T3	20060801	ES 2000-962773	20001006
US 6448236	B1	20020910	US 2000-688497	20001016
IN 2002MN00242	A	20060203	IN 2002-MN242	20020226
BG 106567	A	20021229	BG 2002-106567	20020402
ZA 2002002725	A	20030408	ZA 2002-2725	20020408
NO 2002001751	A	20020613	NO 2002-1751	20020412
HK 1047942	A1	20050401	HK 2003-100163	20030107
PRIORITY APPLN. INFO.:			GB 1999-24361	A 19991014
			WO 2000-IB1446	W 20001006

OTHER SOURCE(S): MARPAT 134:296047

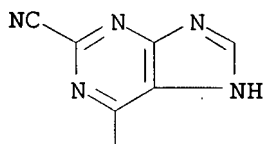
IT 264608-18-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of purine nucleosides as adenosine aa receptor agonists)

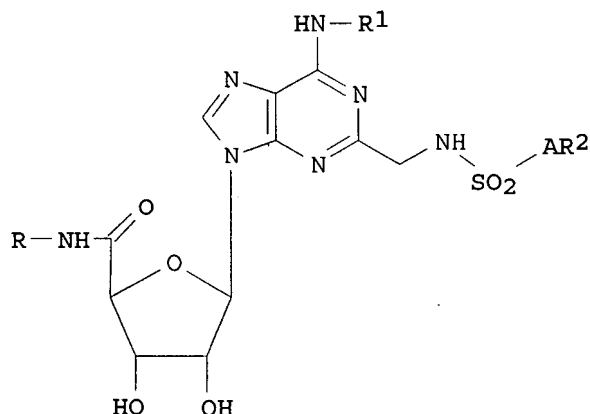
RN 264608-18-6 CAPLUS

CN 1H-Purine-2-carbonitrile, 6-[(2,2-diphenylethyl)amino]- (9CI) (CA INDEX NAME)



Ph₂CH-CH₂-NH

GI



I

AB The present invention relates to nucleosides I wherein R is Me, Et or cyclopropylmethyl; R1 is hydrogen or alkyl optionally substituted by 1 or 2 substituents each independently selected from substituted Ph and substituted naphthyl; A is a bond or alkylene; R2 is hydrogen, alkyl, cycloalkyl, substituted Ph or substituted naphthyl, and pharmaceutically acceptable salts and solvates thereof, to processes for the preparation of, intermediates used in the preparation of, and compns. containing such compds.

and

the uses of such compds. as adenosine A2a receptor agonists. Thus, (2S,3S,4R,5R)-5-{2-[(benzylsulfonyl)amino]methyl}-6-[(2,2-diphenylethyl)amino]-9H-purin-9-yl}-N-ethyl-3,4-dihydroxytetrahydro-2-furancarboxamide was prepared as adenosine A2a receptor agonist (no data).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:283972 CAPLUS

DOCUMENT NUMBER: 134:281075

TITLE: Preparation of purine nucleosides as adenosine A2a receptor agonists

INVENTOR(S): Monaghan, Sandra Marina

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027130	A1	20010419	WO 2000-IB1444	20001006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2387531	A1	20010419	CA 2000-2387531	20001006
CA 2387531	C	20061205		
BR 2000011776	A	20020312	BR 2000-11776	20001006
EP 1220863	A1	20020710	EP 2000-964566	20001006
EP 1220863	B1	20030423		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL

TR 200200985	T2	20021021	TR 2002-985	20001006
HU 200203483	A2	20030228	HU 2002-3483	20001006
JP 2003511459	T	20030325	JP 2001-530348	20001006
AT 238336	T	20030515	AT 2000-964566	20001006
EE 200200193	A	20030616	EE 2002-193	20001006
PT 1220863	T	20030731	PT 2000-964566	20001006
NZ 515323	A	20031031	NZ 2000-515323	20001006
ES 2193990	T3	20031116	ES 2000-964566	20001006
AU 768308	B2	20031204	AU 2000-75488	20001006
US 6350735	B1	20020226	US 2000-688624	20001016
BG 106569	A	20021229	BG 2002-106569	20020402
NO 2002001692	A	20020410	NO 2002-1692	20020410
ZA 2002002849	A	20030411	ZA 2002-2849	20020411
HK 1047110	A1	20050304	HK 2002-108605	20021129

PRIORITY APPLN. INFO.:

GB 1999-24363	A	19991014
WO 2000-IB1444	W	20001006

OTHER SOURCE(S): MARPAT 134:281075

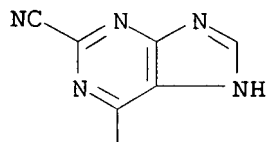
IT 264608-18-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of purine nucleosides as adenosine A2a receptor agonists)

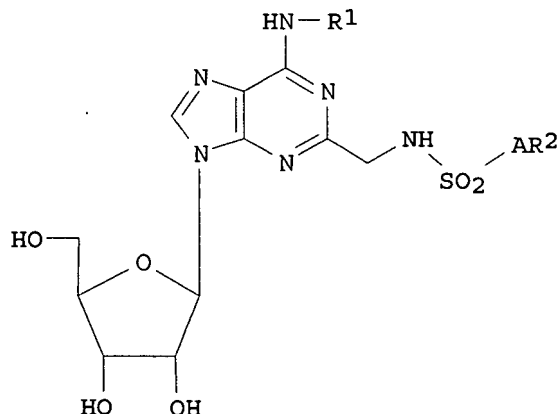
RN 264608-18-6 CAPLUS

CN 1H-Purine-2-carbonitrile, 6-[(2,2-diphenylethyl)amino]- (9CI) (CA INDEX NAME)



Ph₂CH-CH₂-NH

GI



I

AB The present invention relates to compds. of the formula I wherein R1 is H, alkyl optionally substituted with aryl; A is a bond or alkylene; R2 is H, alkyl, cycloalkyl, substituted aryl; R3 is H, alkyl, cycloalkyl, Ph were as adenosine A2a receptor agonists. Thus, I (R1 = CHPh2, R2 = CHMe2, A = CH2) was prepared as antiinflammatory agent and as adenosine A2a receptor agonist (no data).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:900654 CAPLUS

DOCUMENT NUMBER: 134:56915

TITLE: Preparation of purine nucleosides as antiinflammatory agents

INVENTOR(S): Mantell, Simon John; Monaghan, Sandra Marina

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer, Inc.

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000077018	A2	20001221	WO 2000-IB789	20000613
WO 2000077018	A3	20011206		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
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US 6900309	B1	20050531	US 2000-590585	20000608
CA 2379786	A1	20001221	CA 2000-2379786	20000613
CA 2379786	C	20061128		
EP 1185542	A2	20020313	EP 2000-931495	20000613
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 2000011705	A	20020326	BR 2000-11705	20000613
TR 200103607	T2	20021021	TR 2001-3607	20000613
JP 2003502339	T	20030121	JP 2001-503875	20000613
HU 200203419	A2	20030228	HU 2002-3419	20000613

EE 200100681	A	20030415	EE 2001-681	20000613
AU 764106	B2	20030807	AU 2000-49443	20000613
NZ 516094	A	20040730	NZ 2000-516094	20000613
IN 2000MU00539	A	20050304	IN 2000-MU539	20000613
ZA 2001010208	A	20021212	ZA 2001-10208	20011212
HR 2001000927	A1	20030430	HR 2001-927	20011213
NO 2001006109	A	20020215	NO 2001-6109	20011214
BG 106289	A	20020930	BG 2002-106289	20020108
HK 1047111	A1	20050506	HK 2002-108621	20021129
US 2005124574	A1	20050609	US 2005-42582	20050124
PRIORITY APPLN. INFO.:			GB 1999-13932	A 19990615
			US 2000-590585	A3 20000608
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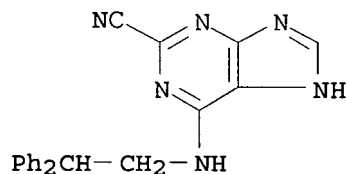
OTHER SOURCE(S): MARPAT 134:56915

IT 264608-18-6P

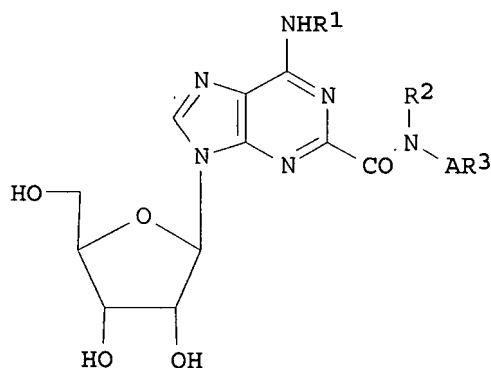
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of purine nucleosides as antiinflammatory agents)

RN 264608-18-6 CAPLUS

CN 1H-Purine-2-carbonitrile, 6-[(2,2-diphenylethyl)amino]- (9CI) (CA INDEX NAME)



GI



AB Nucleosides I (R₁ = H, alkyl, arylalkyl; R₂ = H, alkyl; R₃ = H, alkyl, ester, CN, amide, cycloalkyl, Ph, naphthyl; A = alkylidene, imine, alkoxy, oxycarbonyl, sulfone, sulfonamide), and pharmaceutically acceptable salts and solvates thereof and to processes for the preparation of, intermediates used in the preparation of, compns. containing and the uses of, such compds. as adenosine A_{2a} receptor agonists. Thus, I (R₁ = CH₂CHPh₂, R₂ = H, R₃ = 1-piperidinyl, A = CH₂CH₂) was prepared and tested for its antiinflammatory activity by its ability to inhibit neutrophil function (IC₅₀ < 1 μM).

L6 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

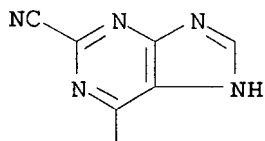
ACCESSION NUMBER: 2000:277994 CAPLUS

DOCUMENT NUMBER: 132:293979

TITLE: Preparation of Adenine derivatives as neutrophil inhibitors, anti-inflammatory agents, and agonists of Adenosine A₂ receptor

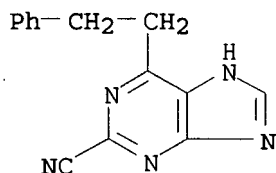
INVENTOR(S): Monaghan, Sandra Marina; Mantell, Simon John
 PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.
 SOURCE: PCT Int. Appl., 166 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023457	A1	20000427	WO 1999-IB1629	19991005
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2347512	A1	20000427	CA 1999-2347512	19991005
CA 2347512	C	20051206		
AU 9958792	A1	20000508	AU 1999-58792	19991005
BR 9914526	A	20010703	BR 1999-14526	19991005
EP 1121372	A1	20010808	EP 1999-946382	19991005
EP 1121372	B1	20060628		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2002527524	T	20020827	JP 2000-577183	19991005
JP 3602445	B2	20041215		
AT 331726	T	20060715	AT 1999-946382	19991005
US 6326359	B1	20011204	US 1999-419482	19991015
PRIORITY APPLN. INFO.:			GB 1998-22702	A 19981016
			GB 1998-25383	A 19981119
			GB 1999-8931	A 19990419
			WO 1999-IB1629	W 19991005
OTHER SOURCE(S): MARPAT 132:293979				
IT	264608-18-6P 264608-49-3P			
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
(preparation of adenosine derivs. as neutrophil inhibitors, anti-inflammatory agent and agonists of adenosine A2 receptor)				
RN	264608-18-6 CAPLUS			
CN	1H-Purine-2-carbonitrile, 6-[(2,2-diphenylethyl)amino]- (9CI) (CA INDEX NAME)			

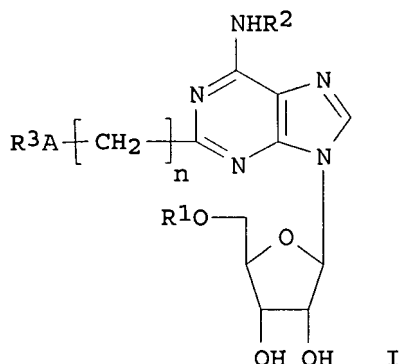


Ph₂CH-CH₂-NH

RN 264608-49-3 CAPLUS
 CN 1H-Purine-2-carbonitrile, 6-(2-phenylethyl)- (9CI) (CA INDEX NAME)



GI



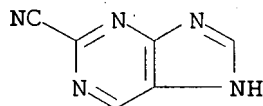
AB The present invention provides compds. of I (R1 = alkyl, cyclopropylmethyl; R2 = phenyl-alkylene, naphthyl-alkylene where the alkylene chain may be substituted with Me, Et, Ph, or naphthyl; n = 1, 2; A = NRA, NRAC(O), NRAC(O)NRA, NRAC(O)O, OC(O)NRA, C(O)NRA, NRA^{SO2}, ^{SO2}NRA, O, S, ^{SO2}, in which Ra = H, alkyl; R3 = -(CH2)^p-Rc-B, wherein p = 0, 1, 2; Rc = bond, alkylene, optionally alkyl-substituted cycloalkylene, phenylene, naphthylene; B = H, NRbRb, ORb, CO2Rb, OCORb, ^{SO2}Rb, CN, ^{SO2}NRbRb, NRbCORb, NRb^{SO2}Rb, CONRbRb, in which Rb = same or different and selected from H, alkyl, Ph, benzyl) and pharmaceutically acceptable salts and solvates, together with processes for the preparation of, compns.

containing,

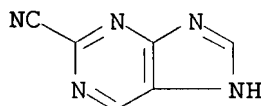
uses of and intermediates used in the preparation of, such compds. that have adenosine A2 receptor agonist activity. Thus, I (R1 = OMe; R2 = 2,2-diphenylethyl; n = 1; A = NH; R3 = 2-phenylacetamide) and various derivs. were prepared and tested for their ability to inhibit neutrophil function and demonstrated submicromolar IC50 values.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1985:184635 CAPLUS
 DOCUMENT NUMBER: 102:184635
 TITLE: Theoretical investigation of acidity and isotope exchange in purine nucleotide cations
 AUTHOR(S): Boerth, Donald W.; Harding, Francis X., Jr.
 CORPORATE SOURCE: Dep. Chem., Southeast. Massachusetts Univ., North Dartmouth, MA, 02747, USA
 SOURCE: Journal of the American Chemical Society (1985), 107(10), 2952-69
 CODEN: JACSAT; ISSN: 0002-7863
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 95121-05-4
 RL: PRP (Properties)
 (electron d. and proton affinity of, MO calcn. of)
 RN 95121-05-4 CAPLUS
 CN 1H-Purine-2-carbonitrile (9CI) (CA INDEX NAME)



IT 95121-40-7
 RL: PRP (Properties)
 (electron d. of, MO calcn. of)
 RN 95121-40-7 CAPLUS
 CN 1H-Purine-2-carbonitrile, conjugate monoacid (9CI) (CA INDEX NAME)



● H⁺

AB Protonation of purine nucleotide models at N(7) and the C(8)H acidity of the purine cations were studied by semiempirical (INDO) and ab initio (STO-3G) MO calcns. performed on neutral, N(7)-protonated, and C(8)-deprotonated purine species. Factors associated with relative rates of C(8)H isotope exchange among different nucleotides were studied. Substituent effects for natural nucleotides, such as electron-donation or -withdrawal, stabilization or destabilization, were analyzed in the context of effects from the outer common electron-withdrawing and -releasing groups at C(2) and C(6). The calculated N(7) basicity of neutral purines shows guanine, adenine, and hypoxanthine to be among the strongest bases along with methyl- and methoxypurines. Xanthine and fluoro- or nitropurine are computed to be among the weakest bases of the group. Ionization at C(8)H was predicted to be the most facile for xanthine and fluoro- and nitropurines and least facile for adenine, guanine, hypoxanthine, dimethyladenine, and dimethylguanine. The predicted thermodyn. ordering (xanthine > purine > hypoxanthine > adenine) is consistent with the observed exchange rate consts. for the nucleosides and nucleotides, but guanine is predicted to be thermodynamically the least susceptible to exchange. Anal. of charge distributions in the N(7) protonated species reveals that approx. 35% of the pos. charge appears at C(8)H. The magnitude of the charge appears to be a good indicator of the effect of substituents on C(8)H lability. The C(8)-deprotonated purines appear to be ylides, stabilized by π polarization, with little zwitterionic character. Both calculated proton affinities and C(8)H charges for the various C(2)- and C(6)-substituted purines show remarkably good correlations with standard Hammett σ values.

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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231.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-8.58

-8.58

STN INTERNATIONAL LOGOFF AT 09:47:24 ON 21 APR 2007